TITLE: Preparation and formulation of pyrimidine derivatives

as pharmaceuticals with affinity for peripheral

benzodiazepine receptors

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PATENT ASSIGNEE(S):

Dainippon Pharmaceutical Co., Ltd., Japan SOURCE: PCT Int. Appl., 107 pp.

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	W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	
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ZA	ZA 9707427				A 19980302					ZA 1997-7427				19970819 <				
AU 9741342				A 19980326					AU 1997-41342					19970903 <				
PRIORITY APPLN. INFO.:									JP 1	996-	2554	20		A 1	9960	904	<	
										WO 1	997-	JP30	79		W 1	9970	903	<
OTHER S	OTHER SOURCE(S):				MARPAT 128:230383				83									

AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, etc.; R2 represents lower alkyl, lower alkenyl, etc.; R3 represents H, lower alkyl, etc.; R4 represents H or lower alkyl; R5 represents H, lower alkyl, etc. or halogeno, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc.; R6 represents H, lower alkyl, etc. or hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc., or R5 and R6 may form together (CH2)n (wherein n is 3 to 6); and A represents optionally substituted heteroaryl or optionally

substituted Ph] are prepared These compds. are expected to be useful as remedies and preventives for central diseases, for example, diseases associated with anxiety, such as neurosis and psychosomatic disorder, depression and epilepsy; circulatory diseases such as angina pectoris and hypertension; immunol. nervous diseases such as multiple sclerosis; or immunol. inflammatory diseases such as rheumatism. In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II showed ICSO of 0.25 mM.

IT 204393-83-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

RN 204393-83-9 ZCAPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-(1H-pyrazol-1-yl)-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)